PATENT COOPERATION TREATY



# PCT

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

| Applicant's or agent's file reference<br>2761PTWO/sbc | **FOR FURTHER ACTION** | See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) |
|---|---|---|
| International application No.<br>PCT/EP 02/07961 | International filing date *(day/month/year)*<br>17.07.2002 | Priority date *(day/month/year)*<br>17.07.2002 |
| International Patent Classification (IPC) or both national classification and IPC<br>A61K9/50 | | |
| Applicant<br>EURAND PHARMACEUTICALS LTD | | |

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

   ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the opinion
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

| Date of submission of the demand | Date of completion of this report |
|---|---|
| 13.02.2004 | 12.11.2004 |
| Name and mailing address of the international preliminary examining authority:<br>European Patent Office<br>D-80298 Munich<br>Tel. +49 89 2399 - 0 Tx: 523656 epmu d<br>Fax: +49 89 2399 - 4465 | Authorized Officer<br>Skjöldebrand, C<br>Telephone No. +49 89 2399-8467 |

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP 02/07961

## I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:

**Description, Pages**

1-12 as originally filed

**Claims, Numbers**

1-13 as originally filed

**Drawings, Sheets**

1/4-4/4 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

   These elements were available or furnished to this Authority in the following language: , which is:

   ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).

   ☐ the language of publication of the international application (under Rule 48.3(b)).

   ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

   ☐ contained in the international application in written form.

   ☐ filed together with the international application in computer readable form.

   ☐ furnished subsequently to this Authority in written form.

   ☐ furnished subsequently to this Authority in computer readable form.

   ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

   ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

   ☐ the description, pages:

   ☐ the claims, Nos.:

   ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

   *(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

| | | | |
|---|---|---|---|
| Novelty (N) | Yes: | Claims | |
| | No: | Claims | 1-13 |
| Inventive step (IS) | Yes: | Claims | |
| | No: | Claims | 1-13 |
| Industrial applicability (IA) | Yes: | Claims | 1-13 |
| | No: | Claims | |

2. Citations and explanations

   **see separate sheet**

Re Item V

**Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

Reference is made to the following documents:

D1: WO 01/52848 A (EURAND AMERICA INC) 26 July 2001 (2001-07-26)
D2: WO 01/49270 A (ANCILE PHARMACEUTICALS INC) 12 July 2001 (2001-07-12)
D3: WO 00/30617 A (CIMA LABS INC) 2 June 2000 (2000-06-02)
D4: MARTIN F: "Oral 5-aminosalicylic acid preparations in treatment of inflammatory bowel disease. An update." DIGESTIVE DISEASES AND SCIENCES. UNITED STATES DEC 1987, vol. 32, no. 12 Suppl, December 1987 (1987-12), pages 57S-63S, XP009002753 ISSN: 0163-2116

**Novelty - Article 33(2) PCT**

D1 relates to taste-masked microcapsules comprising the foul-tasting antibiotic Linezolid. The microencapsulation polymer is ethylcellulose and the enteric coating consists of various acrylate polymers, such as Eudragit (claim 5, examples). The process for the production is microencapsulation by solvent coacervation and the subsequent functional membrane coating.

D2 discloses multiple layer coated pharmaceutical compositions, suited for masking the taste and odour of e.g. Valerian. To the first coating, ethylcellulose may be added for the purpose of facilitating the coating process (page 6, lines 10-11). An outer "third" coating compartment comprises a polymethacrylate, such as Eudragit (page 7, lines 8-16).The coatings are applied by spraying.

D3 relates to taste-masked pharmaceutical formulations. It discloses granules of dextromethorphan and gatifloxacin that are spray-coated with a layer comprising ethylcellulose, thereafter a layer comprising Eudragit E100 (examples 1-4).

The publication by Martin et al. (D4) describes oral formulations containing 5-aminosalicylic acid. "Salofalk" is an enterocoated preparation coated firstly with a semipermeable membrane of ethylcellulose and secondly with a layer of Eudragit-L (page 58, first column, last paragraph).
The subject-matter of independent claims 1 and 10 appears not to be novel in view of the disclosure in documents D1-D4.

**Inventive Step - Article 33(3) PCT**

The subject-matter of the dependent claims appears to be directed to various obvious alternatives and modifications, that are obvious to the skilled man. If the applicant could show that some of the subject-matter of claims 1-13 was to be novel, it therefore appears not to involve an inventive step.